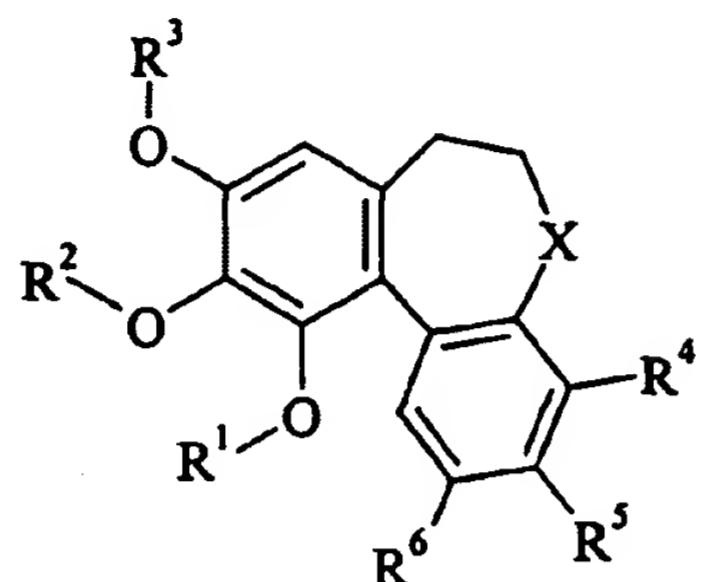


IN THE CLAIMS:

Claim 1 (cancelled).

Claim 2 (currently amended and reformatted): A compound of the formula

IIa:



(IIa)

wherein

X is -C(O)-, -C(S)-, -C=NOH, or -CH(R⁷)- wherein R⁷ is hydrogen, hydroxy, C₁₋₇alkoxy, -OR⁸ or -NR⁸R⁹- (wherein

R⁸ is a group -Y¹R¹⁰- (wherein

Y¹ is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR¹¹-, -SO₂- or -SO₂NR¹²-

(wherein R¹¹ and R¹², which may be the same or different, each independently represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R¹⁰ is selected from one of the following nine groups:

1) hydrogen, C₁₋₇alkyl, C₃₋₇cycloalkyl, C₁₋₄alkylY⁸C₁₋₄alkyl wherein Y⁸ is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkylY⁸alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, carboxy, carbamoyl, C₁₋₄alkoxy, C₁₋₄alkylsulphonyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, phenyl, nitro, sulphate, phosphate, . Z¹- (wherein Z¹ represents a 5-6 membered saturated heterocyclic group

1. Click on "Tools."
2. Select "Options" from the drop-down menu.

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and double-bonded heterocyclic groups may bear 1 or 2 substituents selected from

6. Select the "Use browser proxy settings" option.

7. Click "OK."

8. Click "OK."

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,

C₁₋₄aminoalkyl, C₁₋₄alkylsulphonyl, C₁₋₄alkyl, C₁₋₇alkyl, C₁₋₇alkyl

independently from O, S and double-bonded heterocyclic groups may bear 1 or 2 substituents selected from

1. Select Edit from the Preferences menu.

2. Select "USE PROXY FOR HTTP STREAMING"

3. Enter the name of your proxy server and the port

number. If you do not know what to enter, contact

your Network Administrator.

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,

If you are certain that you are not using a proxy server,

C₁₋₄aminoalkyl, C₁₋₄alkylsulphonyl, C₁₋₄alkyl, C₁₋₇alkyl, C₁₋₇alkyl and to use

'No Proxy' as this can cause connection issues if there is no

proxy set within your browser.

C₁₋₄alkylZ¹ (wherein Z¹ is as defined herein), and

LAUNCHcast is also not currently compatible with SOCKS

a group -Y²R¹³, (wherein Y² is NR¹⁴, C(O) or C(=O)O, wherein R¹³ is

type within your browser in order to connect if this is your

represents hydrogen, C₁₋₄alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and R¹⁴ is C₁₋₇alkyl,

current method.

C₃₋₇cycloalkyl or a group R¹⁵ wherein R¹⁵ is a phenyl group or a

5-10-membered aromatic heterocyclic group (linked via carbon or

nitrogen) with 1-4 heteroatoms selected independently from O, N and S,

which phenyl or aromatic heterocyclic group may bear one or more

substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy,

C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy,

carboxy, cyano, -CONR¹⁶R¹⁷ and -NR¹⁸COR¹⁹ (wherein R¹⁶, R¹⁷, R¹⁸

and R¹⁹, which may be the same or different, each represents hydrogen,

C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl));

2) R¹⁵ wherein R¹⁵ is as defined herein;

3) C₂₋₇alkenylR¹⁵ (wherein R¹⁵ is as defined herein);

4) C₃₋₇alkynylR¹⁵ (wherein R¹⁵ is as defined herein));

- 5) Z^1 (wherein Z^1 is as defined herein);
- 6) $C_{1-7}\text{alkyl}Z^1$ (wherein Z^1 is as defined herein);
- 7) $C_{1-7}\text{alkyl}Y^8Z^1$ (wherein Z^1 is as defined herein and Y^8 is $-\text{C}(\text{O})-$, $-\text{NR}^{59}\text{C}(\text{O})-$, $-\text{NR}^{59}\text{C}(\text{O})\text{C}_{1-4}\text{alkyl}-$, $-\text{C}(\text{O})\text{NR}^{60}-$ or $-\text{C}(\text{O})\text{NR}^{60}\text{C}_{1-4}\text{alkyl}-$, (wherein R^{59} and R^{60} , which may be the same or different, each represents hydrogen, $C_{1-3}\text{alkyl}$, $C_{1-3}\text{hydroxyalkyl}$ or $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$));
- 8) $(C_{1-7}\text{alkyl})_cY^9Z^3$ (wherein c is 0 or 1, Z^3 is an amino acid group and Y^9 is a direct bond, $-\text{C}(\text{O})-$ or $-\text{NR}^{61}-$ (wherein R^{61} is hydrogen, $C_{1-3}\text{alkyl}$ or $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$)); and
- 9) $C_{1-7}\text{alkyl}R^{15}$ (wherein R^{15} is as defined herein);

and R^9 is hydrogen, $C_{1-7}\text{alkyl}$ or $C_{3-7}\text{cycloalkyl}$, which alkyl or cycloalkyl group may bear one or more substituents selected from $C_{1-4}\text{alkoxy}$ and phenyl);

R^1 , R^2 and R^3 are each independently hydrogen, PO_3H_2 , sulphate, $C_{3-7}\text{cycloalkyl}$, $C_{2-7}\text{alkenyl}$, $C_{2-7}\text{alkynyl}$, $C_{1-7}\text{alkanoyl}$, a group $R^{20}\text{C}_{1-7}\text{alkyl}$ (wherein R^{20} is phenyl which may bear one or more substituents selected from $C_{1-4}\text{alkyl}$, $C_{1-4}\text{alkoxy}$, $C_{1-4}\text{aminoalkyl}$ and $C_{1-4}\text{hydroxyalkoxy}$), $C_{1-7}\text{alkyl}$ or $C_{1-7}\text{alkylsulphonyl}$, (which alkyl or alkylsulphonyl group may bear one or more substituents selected from:

halogeno, amino, $C_{1-4}\text{alkylamino}$, $\text{di}(C_{1-4}\text{alkyl})\text{amino}$, hydroxy, $C_{1-4}\text{alkoxy}$, $C_{1-4}\text{alkylsulphonyl}$, $C_{1-4}\text{alkylsulphonyl}$, $C_{1-4}\text{alkoxycarbonyl amino}$, $C_{1-4}\text{alkanoyl}$, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^2R^{21}$ (wherein Y^2 is $-\text{NR}^{22}\text{C}(\text{O})-$ or $-\text{O}-\text{C}(\text{O})-$, (wherein R^{22} represents hydrogen, $C_{1-3}\text{alkyl}$ or $C_{1-3}\text{alkoxyC}_{2-3}\text{alkyl}$) and

R^{21} is $C_{1-7}\text{alkyl}$, $C_{3-7}\text{cycloalkyl}$ or a group R^{23} wherein R^{23} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, $C_{1-4}\text{alkyl}$, $C_{1-4}\text{haloalkyl}$, $C_{1-4}\text{alkoxy}$, $C_{1-4}\text{hydroxyalkyl}$, $C_{1-4}\text{aminoalkyl}$, $C_{1-4}\text{alkylamino}$, $C_{1-4}\text{hydroxyalkoxy}$, carboxy,

cyano, -CONR²⁴R²⁵ and -NR²⁶COR²⁷ (wherein R²⁴, R²⁵, R²⁶ and R²⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl));

with the proviso that at least two of R¹, R² and R³ are C₁₋₇alkyl;

R⁴ is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C₁₋₇alkoxy, C₁₋₇thioalkoxy, C₁₋₇alkanoyl or C₁₋₇alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphonyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y³R²⁸ (wherein Y³ is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl));

R⁵ and R⁶ are each independently selected from hydrogen, -OP(OH)₂, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇thioalkoxy, C₁₋₇alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphonyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y³R²⁸ (wherein Y³ is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or

$C_{1-3}alkoxyC_{2-3}alkyl$) and R^{28} is $C_{1-7}alkyl$, $C_{3-7}cycloalkyl$ or a group R^{30} wherein R^{30} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, $C_{1-4}alkyl$, $C_{1-4}haloalkyl$, $C_{1-4}alkoxy$, $C_{1-4}hydroxyalkyl$, $C_{1-4}aminoalkyl$, $C_{1-4}alkylamino$, $C_{1-4}hydroxyalkoxy$, carboxy, cyano, $-CONR^{31}R^{32}$ and $-NR^{31}COR^{32}$ (wherein R^{31} , R^{32} , R^{33} and R^{34} , which may be the same or different, each represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$)), and

a group $-Y^4R^{35}$ (wherein

Y^4 is $-C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-SO_2-$, $-OSO_2-$, $-NR^{36}-$, $-C_{1-4}alkylNR^{36}-$, $-C_{1-4}alkylC(O)-$, $-NR^{37}C(O)-$, $-OC(O)O-$, $-C(O)NR^{38}-$ or $-NR^{39}C(O)O-$ (wherein R^{36} , R^{37} , R^{38} and R^{39} , which may be the same or different, each represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$) and

R^{35} is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, $C_{1-7}alkyl$, $C_{1-7}alkoxy$, $C_{1-7}alkanoyl$, $C_{1-7}alkylamino$, $di(C_{1-7}alkyl)amino$, $aminoC_{1-7}alkylamino$, $C_{1-7}alkylaminoC_{1-7}alkylamino$, $C_{1-7}alkanoylaminoC_{1-7}alkyl$, $di(C_{1-7}alkyl)aminoC_{1-7}alkylamino$, $C_{1-7}alkylphosphate$, $C_{1-7}alkylphosphonate$, $C_{1-7}alkylcarbamoylC_{1-7}alkyl$, (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminooalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino, $C_{1-4}alkylamino$, $di(C_{1-4}alkyl)amino$, hydroxy, $C_{1-4}hydroxyalkyl$, $C_{1-4}alkoxy$, $C_{1-4}alkylsulphanyl$, $C_{1-4}alkylsulphonyl$, $C_{1-4}alkoxycarbonylamino$, $C_{1-4}alkanoyl$, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^5R^{40}$ (wherein Y^5 is $-NR^{41}C(O)-$, $-C(O)NR^{42}-$, $-C(O)-O-$ or $-O-C(O)-$ (wherein R^{41} and R^{42} which may be the same or different each represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$) and R^{40}

is C_{1-7} alkyl, C_{3-7} cycloalkyl, carboxy C_{1-7} alkyl or a group R^{43} wherein R^{43} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, -CONR⁴⁴R⁴⁵ and -NR⁴⁶COR⁴⁷ (wherein R⁴⁴, R⁴⁵, R⁴⁶ and R⁴⁷, which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl)),

R^{48} (wherein R^{48} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, di(C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino C_{1-4} alkyl, di(C_{1-4} hydroxyalkyl)amino C_{1-4} alkyl, di(C_{1-4} aminoalkyl)amino C_{1-4} alkyl, C_{1-4} hydroxyalkoxy, carboxy, C_{1-4} carboxyalkyl, phenyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and C_{1-4} alkylR⁵³ (wherein R⁵³ is as defined herein),

C_{1-7} alkylR⁴⁸ (wherein R⁴⁸ is as defined herein),

R^{53} (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} carboxyalkyl, C_{1-4} aminoalkyl, di(C_{1-4} alkyl)amino C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl and R⁵⁴ (wherein R⁵⁴ is a 5-6-membered saturated

heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonylC₁₋₄alkyl)), or

(CH₂)_aY⁶(CH₂)_bR⁵³ (wherein R⁵³ is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y⁶ represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R⁵⁵, R⁵⁶, and R⁵⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and wherein one or more of the (CH₂)_a or (CH₂)_b groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R⁵ is not hydroxy, alkoxy, substituted alkoxy (wherein R⁵ is Y⁴R³⁵ and Y⁴ is -O- and R³⁵ is C₁₋₇alkyl bearing one or more substituents selected from the list given herein), -OPO₃H₂, -O-C₁₋₇alkanoyl or benzyloxy;

with the further proviso that at least one of R⁵ or R⁶ is a group -Y⁴R³⁵ (wherein Y⁴ and R³⁵ are as defined herein) but with the further provisos that when R⁵ is -Y⁴R³⁵ and R⁶ is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y⁴R³⁵ is not selected from cases wherein:

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸- (wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y⁵R⁴⁰ (wherein Y⁵ is -O-C(O)- and R⁴⁰ is C₁₋₇alkyl)), or R⁴⁸ (wherein R⁴⁸ is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C₁₋₄alkyl); and

that when R^6 is $-Y^4R^{35}$ and R^5 is hydrogen, hydroxy, methoxy or methoxycarbonyl, $-Y^4R^{35}$ is not selected from cases wherein:

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is $C_{1-7}alkyl$, $C_{1-7}alkoxy$ (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R^{48} (wherein R^{48} is a benzyl group which benzyl group may bear one or more substituents selected from $C_{1-4}alkyl$), or R^{53} (wherein R^{53} is piperidinyl);

or a salt thereof.

Claim 3 (cancelled).

Claim 4 (original): A compound according to claim 2 wherein X is $-CH(R^7)-$ wherein R^7 is $-OR^8$ or $-NR^8R^9$ (wherein R^8 is a group $-Y^1R^{10}$ (wherein Y^1 is $-C(O)-$, $-C(O)O-$ or $-C(O)NR^{11}-$ (wherein R^{11} represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$) and R^{10} is as defined in claim 2) and R^9 is as defined in claim 2).

Claim 5 (previously amended): A compound according to claim 2 wherein R^1 , R^2 and R^3 are each methyl.

Claim 6 (previously amended): A compound according to claim 2 wherein R^4 is hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2 wherein R^6 is hydrogen, halogeno, amino, carboxy, hydroxy, $C_{1-7}alkoxy$ or a group Y^4R^{35} (wherein

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno), R^{48} (wherein R^{48} is a benzyl group) or R^{53} (wherein R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

Claim 8 (previously amended): A compound according to claim 2 wherein R^6 is hydrogen, $C(O)OCH_3$ or methoxy.

Claim 9 (presently amended and reformatted): A compound according to claims 2 wherein

R^5 is hydrogen, halogeno, amino, carboxy, carbamoyl, C_{1-7} alkanoyl, C_{1-7} thioalkoxy, or a group $-Y^4R^{35}$ (wherein

Y^4 is $-C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-OSO_2-$, $-NR^{36}-$, $-NR^{37}C(O)-$ or $-C(O)NR^{38}-$ (wherein R^{36} , R^{37} and R^{38} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{35} is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C_{1-7} alkyl, C_{1-7} alkoxy, C_{1-7} alkanoyl, C_{1-7} alkanoylamino C_{1-7} alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group $-Y^5R^{40}$ (wherein

Y^5 is $-C(O)-O-$ or $-O-C(O)-$ and

R^{40} is C_{1-7} alkyl or a group R^{43} wherein R^{43} is a benzyl group),

R^{48} (wherein R^{48} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, di(C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino C_{1-4} alkyl, di(C_{1-4} hydroxyalkyl)amino C_{1-4} alkyl, di(C_{1-4} aminoalkyl)amino C_{1-4} alkyl,

C_{1-4} hydroxyalkoxy, carboxy, C_{1-4} carboxyalkyl, cyano, $-CONR^{49}R^{50}$, $-NR^{51}COR^{52}$ (wherein R^{49} , R^{50} , R^{51} and R^{52} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and C_{1-4} alkyl R^{53} (wherein R^{53} is as defined herein), C_{1-7} alkyl R^{48} (wherein R^{48} is as defined herein), R^{53} (wherein R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, fluoro, chloro, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} carboxyalkyl, C_{1-4} aminoalkyl, di(C_{1-4} alkyl)amino C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl and R^{54} (wherein R^{54} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} alkoxy C_{1-4} alkyl and C_{1-4} alkylsulphonyl C_{1-4} alkyl)), or $(CH_2)_aY^6(CH_2)_bR^{53}$ (wherein R^{53} is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y^6 represents a direct bond, $-O-$, $-C(O)-$, $-NR^{55}-$, $-NR^{56}C(O)-$ or $-C(O)NR^{57}-$ (wherein R^{55} , R^{56} , and R^{57} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl), and wherein one or more of the $(CH_2)_a$ or $(CH_2)_b$ groups may bear one or more substituents selected from hydroxy, amino and halogeno)); with the proviso that R^5 is not alkoxy, substituted alkoxy (wherein R^5 is Y^4R^{35} and Y^4 is $-O-$ and R^{35} is C_{1-7} alkyl bearing one or more substituents selected from the list given herein), $-O-C_{1-7}$ alkanoyl or benzyloxy.

Claim 10 (original): A compound according to claim 2 selected from:

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl 3-{[(2*R*)-2,6-diaminohexanoyl]amino}propanoate,

(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[(2-aminoacetyl)amino]propanoate,

N-[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,

(*2S,3S,4S,5R,6R*)-6-{[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,

N-[(*5S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

N-[(*5S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,

5-[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,

4-(3-[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and

(*2S*)-*N*-[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

Claim 11 (original): A compound according to claim 2 selected from

N-[(*5S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and

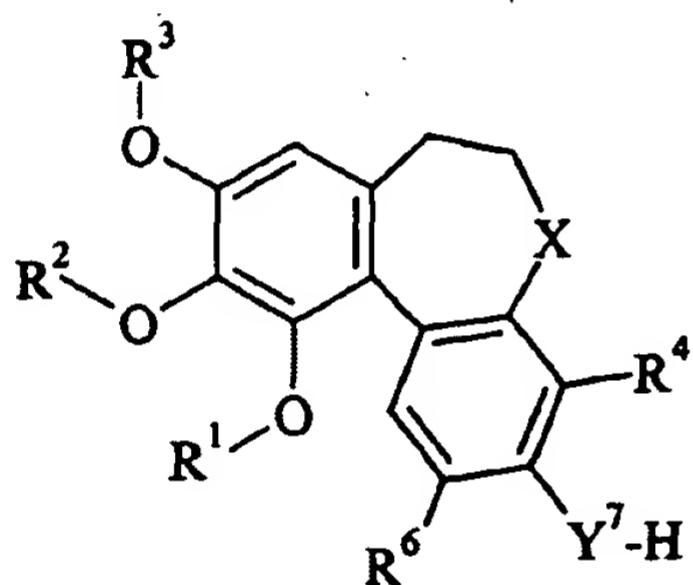
(*2S*)-*N*-[(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

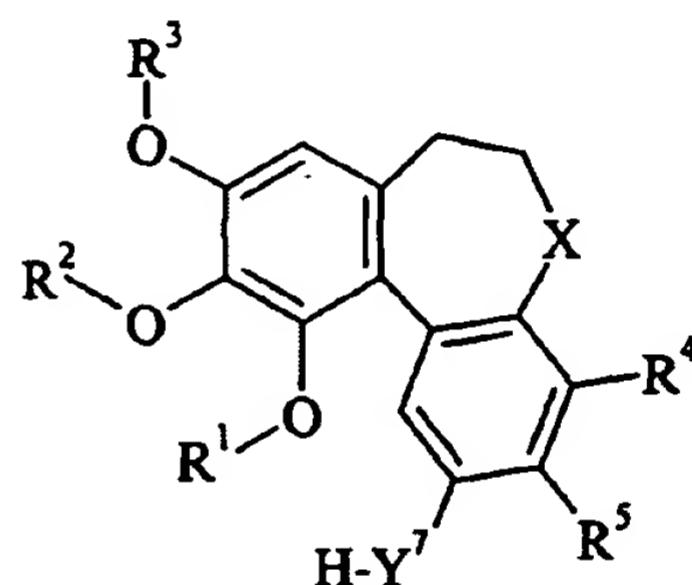
Claim 12 (original): A compound according to claim 2 selected from
(2*S*)-*N*-(*5S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide
and salts thereof.

Claim 13. (original; reformatted): A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

(a) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III or IV:



(III)



(IV)

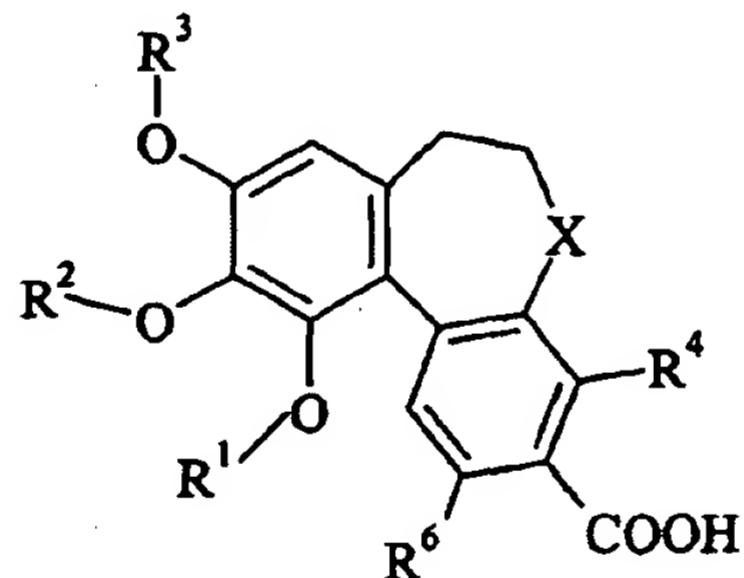
(wherein X, R¹, R², R³, R⁴, R⁵, R⁶ are as defined in claim 2 and Y⁷ is -O- or -NH-), by acylation or coupling reactions;

(b) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkoxy which may be substituted as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III and IV, by acylation reactions;

(c) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, di(C₁₋₇alkyl)aminoC₁₋₇alkylamino and may be substituted as defined in claim 2, or is

R^{53} (wherein R^{53} is as defined in claim 2) and Y^4 is a group -OC(O)- or -NHC(O)-), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is a sugar moiety and Y^4 is a group -O- or -NH-), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is sulphate and Y^4 is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is C_{1-7} alkylphosphate and may be substituted as defined in claim 2 and Y^4 is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which R^5 is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X, R^1 , R^2 , R^3 , R^4 and R^6 are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (original): A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.